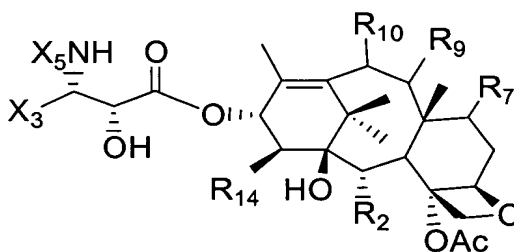


Claims

WHAT IS CLAIMED IS:

1. A taxane having the formula:



wherein

R₂ is acyloxy;R₇ is hydroxy;R₉ is keto, hydroxy, or acyloxy;R₁₀ is acyloxyacetyloxy;R₁₄ is hydrido or hydroxy;X₃ is substituted or unsubstituted alkyl, alkenyl, alkynyl or heterocyclo;X₅ is -COX₁₀, -COOX₁₀, or -CONHX₁₀;X₁₀ is hydrocarbyl, substituted hydrocarbyl, or heterocyclo; and

Ac is acetyl.

2. The taxane of claim 1 wherein X₃ is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, C₁ - C₈ alkyl, C₂ - C₈ alkenyl, or C₂ - C₈ alkynyl.

3. The taxane of claim 1 wherein X₅ is -COX₁₀ and X₁₀ is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, C₁ - C₈ alkyl, C₂ - C₈ alkenyl, or C₂ - C₈ alkynyl, or X₅ is -COOX₁₀ and X₁₀ is substituted or unsubstituted C₁ - C₈ alkyl, C₂ - C₈ alkenyl, or C₂ - C₈ alkynyl.

4. The taxane of claim 1 wherein X₅ is -COX₁₀ and X₁₀ is phenyl, or X₅ is -COOX₁₀ and X₁₀ is t-butyl.

5. The taxane of claim 1 wherein R₁₄ is hydrido.

6. The taxane of claim 5 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

7. The taxane of claim 5 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

8. The taxane of claim 5 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

9. The taxane of claim 1 wherein R_2 is benzoyloxy.

10. The taxane of claim 9 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

11. The taxane of claim 9 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

12. The taxane of claim 9 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

13. The taxane of claim 1 wherein R_{14} is hydrido and R_9 is keto.

14. The taxane of claim 13 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

15. The taxane of claim 13 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

16. The taxane of claim 13 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

17. The taxane of claim 1 wherein R_2 is benzoyloxy and R_9 is keto.

18. The taxane of claim 17 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

19. The taxane of claim 17 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

20. The taxane of claim 17 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

21. The taxane of claim 1 wherein R_{14} is hydrido and R_2 is benzoyloxy.

22. The taxane of claim 21 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

23. The taxane of claim 21 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

24. The taxane of claim 21 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

25. The taxane of claim 1 wherein R_{14} is hydrido, R_9 is keto, and R_2 is benzoyloxy.

26. The taxane of claim 25 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

27. The taxane of claim 25 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $\text{C}_1 - \text{C}_8$ alkyl, $\text{C}_2 - \text{C}_8$ alkenyl, or $\text{C}_2 - \text{C}_8$ alkynyl or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $\text{C}_1 - \text{C}_8$ alkyl, $\text{C}_2 - \text{C}_8$ alkenyl, or $\text{C}_2 - \text{C}_8$ alkynyl.

28. The taxane of claim 25 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

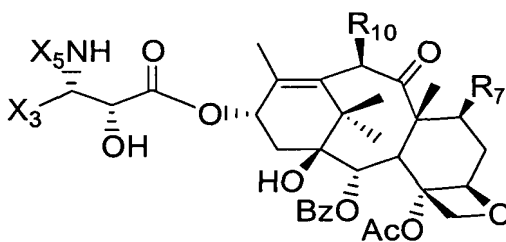
29. The taxane of claim 25 wherein X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

30. The taxane of claim 29 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, cycloalkyl or alkenyl.

31. The taxane of claim 29 wherein X_3 is furyl or thienyl.

32. The taxane of claim 29 wherein X_3 is cycloalkyl.

33. A taxane having the formula



R_7 is hydroxy;

R_{10} is $\text{R}_{10a}\text{COO}-$;

R_{10a} is a heterosubstituted methyl group wherein the heteroatom may be substituted to form a heterocyclo, alkoxy, alkenoxy, alkynoxy, aryloxy, hydroxy, protected hydroxy, oxy, acyloxy, nitro, amino, amido, thiol, ketal, acetal, ester or ether;

X_3 is substituted or unsubstituted alkyl, alkenyl, alkynyl or heterocyclo;

X_5 is $-\text{COX}_{10}$, $-\text{COOX}_{10}$, or $-\text{CONHX}_{10}$; and

X_{10} is hydrocarbyl, substituted hydrocarbyl, or heterocyclo.

34. The taxane of claim 33 wherein X_3 is furyl, thienyl, pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

35. The taxane of claim 33 wherein R_{10} is $R_{10a}COO^-$ and R_{10a} is a heterosubstituted methyl group wherein the heteroatom may be substituted to form a alkoxy, alkenoxy, aryloxy, hydroxy, acyloxy, ester or ether.

36. The taxane of claim 33 wherein R_{10} is $R_{10a}COO^-$ and R_{10a} is a heterosubstituted methyl group wherein the heteroatom may be substituted to form a alkoxy or aryloxy.

37. The taxane of claim 34 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

38. The taxane of claim 34 wherein X_3 is furyl or thienyl.

39. The taxane of claim 38 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

40. The taxane of claim 38 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

41. The taxane of claim 34 wherein X_3 is cycloalkyl.

42. The taxane of claim 41 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

43. The taxane of claim 41 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

44. The taxane of claim 34 wherein X_3 is isobutenyl.
45. The taxane of claim 44 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.
46. The taxane of claim 44 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
47. The taxane of claim 34 wherein R_{10} is alkoxyacetyloxy or acyloxyacetyloxy.
48. The taxane of claim 47 wherein X_3 is furyl, thienyl, pyridyl, $C_1 - C_8$ alkyl or $C_2 - C_8$ alkenyl.
49. The taxane of claim 48 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.
50. The taxane of claim 48 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
51. The taxane of claim 47 wherein X_3 is cycloalkyl.
52. The taxane of claim 51 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.
53. The taxane of claim 51 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.

54. The taxane of claim 47 wherein X_3 is furyl or thienyl.
55. The taxane of claim 54 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $\text{C}_1 - \text{C}_8$ alkyl, $\text{C}_2 - \text{C}_8$ alkenyl, or $\text{C}_2 - \text{C}_8$ alkynyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is substituted or unsubstituted $\text{C}_1 - \text{C}_8$ alkyl, $\text{C}_2 - \text{C}_8$ alkenyl, or $\text{C}_2 - \text{C}_8$ alkynyl.
56. The taxane of claim 54 wherein X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
57. The taxane of claim 34 wherein X_3 is furyl or thienyl, and X_5 is $-\text{COX}_{10}$ wherein X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ wherein X_{10} is t-butyl.
58. The taxane of claim 34 wherein X_3 is substituted or unsubstituted furyl, and X_5 is $-\text{COX}_{10}$ wherein X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ wherein X_{10} is t-butyl.
59. The taxane of claim 34 wherein X_3 is substituted or unsubstituted thienyl, and X_5 is $-\text{COX}_{10}$ wherein X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ wherein X_{10} is t-butyl.
60. The taxane of claim 34 wherein X_3 is isobutenyl, and X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
61. The taxane of claim 34 wherein X_3 is alkyl, and X_5 is $-\text{COX}_{10}$ and X_{10} is phenyl, or X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
62. The taxane of claim 34 wherein X_3 is furyl or thienyl, X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
63. The taxane of claim 34 wherein X_3 is isobutenyl or cycloalkyl, X_5 is $-\text{COOX}_{10}$ and X_{10} is t-butyl.
64. A pharmaceutical composition comprising the taxane of claim 1 and at least one pharmaceutically acceptable carrier.

65. The pharmaceutical composition of claim 64 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl or $C_2 - C_8$ alkynyl.

66. The pharmaceutical composition of claim 65 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

67. The pharmaceutical composition of claim 65 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

68. The pharmaceutical composition of claim 65 wherein X_3 is substituted or unsubstituted furyl or thienyl, and X_5 is $-COX_{10}$ wherein X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

69. The pharmaceutical composition of claim 65 wherein X_3 is furyl or thienyl, and X_5 is $-COX_{10}$ wherein X_{10} is phenyl, or X_5 is $-COOX_{10}$ wherein X_{10} is t-butyl.

70. The pharmaceutical composition of claim 65 wherein X_3 is alkyl or isobutenyl, and X_5 is $-COX_{10}$ wherein X_{10} is phenyl, or X_5 is $-COOX_{10}$ wherein X_{10} is t-butyl.

71. The pharmaceutical composition of claim 65 wherein X_3 is furyl or thienyl, X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

72. The pharmaceutical composition of claim 65 wherein X_3 is isobutenyl or alkyl, X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

73. A pharmaceutical composition comprising the taxane of claim 34 and at least one pharmaceutically acceptable carrier.

74. A pharmaceutical composition comprising the taxane of claim 38 and at least one pharmaceutically acceptable carrier.

75. A composition for oral administration comprising the taxane of claim 1 and at least one pharmaceutically acceptable carrier.

76. The pharmaceutical composition of claim 75 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl or $C_2 - C_8$ alkynyl.

77. The pharmaceutical composition of claim 76 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

78. The pharmaceutical composition of claim 76 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

79. The pharmaceutical composition of claim 76 wherein X_3 is substituted or unsubstituted furyl or thienyl, and X_5 is $-COX_{10}$ wherein X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

80. A composition for oral administration comprising the taxane of claim 34 and at least one pharmaceutically acceptable carrier.

81. A composition for oral administration comprising the taxane of claim 38 and at least one pharmaceutically acceptable carrier.

82. A method of inhibiting tumor growth in a mammal, said method comprising orally administering a therapeutically effective amount of a pharmaceutical composition comprising the taxane of claim 1 and at least one pharmaceutically acceptable carrier.

83. The method of claim 82 wherein X_3 is 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl or $C_2 - C_8$ alkynyl.

84. The method of claim 83 wherein X_5 is $-COX_{10}$ and X_{10} is substituted or unsubstituted phenyl, 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl, or X_5 is $-COOX_{10}$ and X_{10} is substituted or unsubstituted $C_1 - C_8$ alkyl, $C_2 - C_8$ alkenyl, or $C_2 - C_8$ alkynyl.

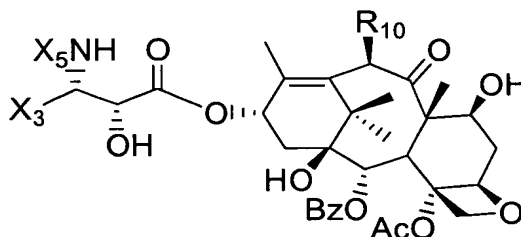
85. The method of claim 83 wherein X_5 is $-COX_{10}$ and X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

86. The method of claim 83 wherein X_3 is substituted or unsubstituted furyl or thienyl, and X_5 is $-COX_{10}$ wherein X_{10} is phenyl, or X_5 is $-COOX_{10}$ and X_{10} is t-butyl.

87. A method of inhibiting tumor growth in a mammal, said method comprising orally administering a therapeutically effective amount of a pharmaceutical composition comprising the taxane of claim 34 and at least one pharmaceutically acceptable carrier.

88. A method of inhibiting tumor growth in a mammal, said method comprising orally administering a therapeutically effective amount of a pharmaceutical composition comprising the taxane of claim 38 and at least one pharmaceutically acceptable carrier.

89. A taxane having the formula



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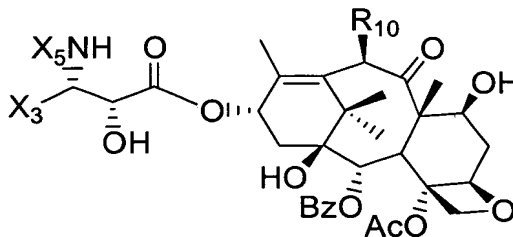
wherein

R_{10} is acetyloxyacetyloxy or methoxyacetyloxy;

X_3 is 2-furyl;

X_5 is $-\text{COOX}_{10}$ and X_{10} is t-amyl; and
Ac is acetyl.

90. A taxane having the formula



5

wherein

R_{10} is methoxyacetyloxy or phenoxyacetyloxy;
 X_3 is 2-furyl;
 X_5 is $-\text{COX}_{10}$ and X_{10} is trans-propenyl; and
Ac is acetyl.